



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference Moo202	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/IN 02/00193	International filing date (day/month/year) 24.09.2002	Priority date (day/month/year) 24.09.2002
International Patent Classification (IPC) or both national classification and IPC C07D401/04		
Applicant MOREPEN LABORATORIES LIMITED et al.		

1.	This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2.	<p>This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>
3.	<p>This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(II) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p>

Date of submission of the demand 05.04.2004	Date of completion of this report 16.12.2004
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International application No. PCT/IN 02/00193

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-13 as originally filed

Claims, Numbers

1-15 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-15
	No: Claims	
Inventive step (IS)	Yes: Claims	1-15
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-15
	No: Claims	

2. Citations and explanations

see separate sheet

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To section V

The following documents were cited in the search report and were considered for the examination of the present application:

- D1: WO-A-9510514
- D2: Chemical And Pharmaceutical Bulletin, Pharmaceutical Society Of Japan.
Tokyo, Jp (11-1999), 42(II), 2285-2290
- D3: Tetrahedron, Elsevier Science Publishers, Amsterdam, NI (1988), 44(19),
6197-6200
- D4: EP-A-0270818
- D5: WO-A-9631478

(1) The present application relates to a process for the preparation of Desloratadine (8-chloro-6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine), a compound which is useful for the treatment of e.g. allergic asthma due to antihistaminic properties. The compound is prepared from a precursor with an ethoxycarbonyl group in position 1 of the piperidine moiety via saponification and decarboxylation. The essential feature of the claimed process is the use of an inorganic base in an alcoholic non-aqueous medium.

(2) The documents of the prior art either refer to a saponification reaction using potassium hydroxide, water and ethanol (D1, page 52) or refer to an acidic hydrolysis employing hydrochloric acid (D5, page 26, line 12-14). Thus novelty is acknowledged for claims 1-15 (Art. 33(2) PCT).

(3) Documents D2 and D3 relate to similar saponification reactions without using aqueous media starting from structurally different precursors which are considered comparable to the one of this application (D2, compound 4a; D3, example 3h). Thus in view of documents D2 and D3 a person skilled in the art would have been able to modify the process of D1 to arrive at the presently claimed procedure using neat alcohol. The description only refers to examples where neat alcohols and inorganic bases are used. However no direct comparison to the example of D1 (page 52) is shown which could possibly reveal a contribution over the prior art. It is acknowledged that the example of page 52 in D1 makes use of an inert atmosphere and the product is isolated via extraction with ethyl acetate. Thus the direct isolation and the omission of an inert atmosphere could be considered as an improvement over the prior art. However claim 1 refers to "isolating the compound by conventional methods", which

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could also include e.g. an extraction step. Thus the involvement of an inventive step is not acknowledged (Art. 33(3) PCT).

(4) Claim 15 is not acceptable in view of Art. 6 PCT, since it should contain a reference to a preceding claim.

The expression "improved" has to be deleted from the claims (Art. 6 PCT).